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From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

Rec'd PCT/PTO 05 OCT 2004

PCT

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- 8 LUG. 2004

NOTIFICATION OF TRANSMITTAL OF
THE INTERNATIONAL PRELIMINARY
EXAMINATION REPORT

(PCT Rule 71.1)

Date of mailing
(day/month/year)

02.07.2004

Applicant's or agent's file reference
Cal 86062

IMPORTANT NOTIFICATION

International application No.
PCT/EP 03/03784

International filing date (day/month/year)
11.04.2003

Priority date (day/month/year)
17.04.2002

Applicant
ISAGRO RICERCA S.R.L.

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

Name and mailing address of the international
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PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT
(PCT Article 36 and Rule 70)


Applicant's or agent's file reference Cal 86062	FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/PEA/416)	
International application No: PCT/EP 03/03784	International filing date (day/month/year) 11.04.2003	Priority date (day/month/year) 17.04.2002
International Patent Classification (IPC) or both national classification and IPC C07C69/734		
Applicant ISAGRO RICERCA S.R.L.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of 6 sheets, including this cover sheet.

☒ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

 These annexes consist of a total of 11 sheets.

3. This report contains indications relating to the following items:
 - I ☒ Basis of the opinion
 - II ☐ Priority
 - III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
 - IV ☐ Lack of unity of invention
 - V ☒ Reasoned statement under Rule 66.2(a)(ii) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
 - VI ☐ Certain documents cited
 - VII ☐ Certain defects in the international application
 - VIII ☐ Certain observations on the international application

Date of submission of the demand 11.11.2003	Date of completion of this report 02.07.2004
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer Seelmann, M Telephone No. +49 89 2399-8335



**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP 03/03784

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, Pages

1-43 as originally filed

Claims, Numbers

1-23 received on 27.02.2004 with letter of 26.02.2004

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)).

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

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**V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. Statement

Novelty (N)	Yes: Claims	
	No: Claims	1-3,6-12,15-23
Inventive step (IS)	Yes: Claims	
	No: Claims	4,5,13,14
Industrial applicability (IA)	Yes: Claims	1-23
	No: Claims	

2. Citations and explanations

see separate sheet

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

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Item V

Reasoned statement under Artikel 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D1 US 5 145 980

D2 US 55 45 664

D3 EP 0 398 692 cited in the present application

V.3 Amendments

The definition provided in the amended claim 1 concerning the A parameter fulfills the requirements of article 6 PCT.

The present claim 1 was modified such that the scope has been reduced to compounds of formula (I) wherein:

$X_1, X_5 = H; X_2, X_4 = \text{Hal}; X_3 = R;$

or $X_1, X_4 = H; X_3, X_5 = \text{Hal}; X_2 = R;$

with $Z = \text{CH}$ or N when $Y = \text{OCH}_3$ or $Z = \text{N}$ when $Y = \text{NHCH}_3$.

These amendments correspond to the exclusion of the following originally disclosed possibilities:

1/ $X_1 = \text{Hal}$ or R

2/ $X_2 = \text{H}$

3/ $X_1, X_3 = \text{H}; X_2 = \text{R}$

4/ $X_1 = \text{H}; X_2, X_4, X_5 = \text{Hal}$

5/ $Z = \text{CH}$ when $Y = \text{NHCH}_3$.

The novelty-destroying compounds known from **D1** cover $X_1, X_5 = \text{Cl, F, Br}; X_3 = \text{Me}$ or $X_3, X_5 = \text{Cl, F, Br}; X_1 = \text{R}$ for the same activity as fungicides (*i.e.* disclaimer not allowable). The application as originally filed disclosed $X_1, X_5 = \text{H}; X_2, X_4 = \text{Hal}; X_3 = \text{R}$ in the case $n = 0$ (claim 4 or page 4).

Accordingly there seems to be no support for the proposed amendments. These latter seem to be a generalization of the examples, contravening to the requirements of article 34(2)b) PCT.

Therefore the following issues of novelty and inventive step were dealt according to the original set of claims.

V.2 Novelty

As already outlined in the description, the teaching of **D3** (claim 2) can be seen as generic in regards to the present application. The phenoxy-methylphenyl derivatives of general formula (I) presently claimed are already known from the prior art, for instance:

D1: compounds N°1.197 to 1.202 in table 1

Accordingly the subject-matters of claims 1-3, 6-12 and 15-23 are not novel in view of **D1**.

V.3 Inventive step

The closest state of the art for the present application is represented by **D1** disclosing structurally similar compounds which do not fall under the present application (claim 4) because of only the halogen positions on the phenyl ring: 2,6- or 2,4- (**D1**: compounds N°1.197 to 1.202) instead of 3,5-substitution in the present application (claim 4). Presently such a structural variation is alleged to lead to derivatives with the same qualitative activity/properties as those described in **D1**. In view of the experimental part and the other information as given in the description, it can be assumed that this problem has been solved for those compounds, wherein $n = 0$, $X_1 = X_5 = H$, $X_2 = X_4 = Cl$ and $X_3 = R$, *i.e.* an alkoxy, alkoxyalkyl, alkenyloxy, cycloalkylalkoxy and benzyloxy groups with possible halogen substitution or $n = 0$, $X_1 = X_4 = H$, $X_3 = X_5 = Cl$ and $X_2 =$ alkyleneoxy substituted by halogen (cf. letter of applicant of 26.02.2003, table on pages 3-4).

The problem underlying the present application can, however, not be seen in the provision of further novel derivatives, because in view of the extremely close structural relationship to **D1** compounds it is considered that the man skilled in the art would regard the new compounds of this application (claim 4) as being obvious alternatives to the known compounds.

Therefore, the problem underlying the present application should be seen in the provision of new derivatives having unexpected properties over those of the closest prior art compounds (**D1**). Comparative tests were performed between compounds 1.197 and 1.200 of **D1** with those claimed in the present application (cf. letter of applicant of 26.02.2003, table on pages 3-4).

It was convincingly shown, as previously stated, that the modified position of the halogen-substitution with simultaneous presence of an oxy-moiety on the phenyl ring improves dramatically the fungicidal activity. Therefore if one has to make use of the argument that

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such a "minor" structural modifications could not be anticipated by the man skilled in the art to have such an influence on the sought activity, other more dramatic modifications as described in claim 1 cannot be considered as obvious or generalize without additional experimental evidence. Such a generalization could also lead to compounds having no effect at all. Accordingly only a reasonable generalization of the examples, not contravening to article 34(2)b) PCT, could be considered as inventive. Expressions such as heteroaryloxy or alkoxyiminoalkylidenoxy can be considered as a reasonable generalization, for instance !

The subject-matter of claim 4 and dependent claim 5 or related claims 13-14 do not therefore fulfill the requirements of Article 33(3) PCT.

Further comments:

The term "etc" is indefinite (cf. PCT Guidelines CIII-4.3a).